Benzimidazole and imidazo-pyridine derivatives, useful in treatment of obesity, cachexia, anorexia, anxiety, depression, pain, and erectile dysfunction, have affinity for melanocortin receptors

Publication number: FR2851563
Publication date: 2004-08-27
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Classification:
- international: ***A61P3/04; A61P15/10; A61P25/22; A61P25/24; C07D235/30; C07D401/04; C07D401/06; C07D401/12; C07D403/06; C07D405/12; C07D491/10;*** **A61P3/00; A61P15/00; A61P25/00; C07D235/00; C07D401/00; C07D403/00; C07D405/00; C07D491/00;** (IPC1-7): C07D235/30; A61K31/4184; A61K31/454; A61P3/04; A61P15/10; A61P25/22; A61P25/24
- European: C07D235/30; C07D401/04; C07D401/06; C07D401/12; C07D403/06; C07D405/12; C07D491/10
Application number: FR20030002320 20030226
Priority number(s): FR20030002320 20030226

Also published as:
WO2004075823 (A3
WO2004075823 (A3
WO2004075823 (A2
EP1599167 (A3)
EP1599167 (A3)

more >>

Abstract of **FR2851563**

Benzimidazole and imidazo-pyridine derivatives (I) are new. Benzimidazole and imidazo-pyridine derivatives (I), their racemic and enantiomeric forms and their salts are new. A = CH2 CO or C(O)C(Ra)(Rb); X = C or N; Ra, Rb = H or 1-6C alkyl; R1, R2 = H, 1-8C alkyl (optionally substituted by OH), 2-6C alkenyl or (CH2)nX1; X1 = 3-7C cycloalkyl, heterocycloalkyl, aryl or heteroaryl (all optionally substituted by one or more halogen, NO2, CN or (CH2)n-V1Y1), 1-6C alkoxy or adamantyl n = 0-6, such that when it is 0, then X1 is neither OH nor alkoxy; or NR1R2 = heterobicycloalkyl or heterocycloalkyl (both optionally substituted by one or more S1), 2,5-dihydro-1H-pyrrolo, 1,2,5,6-tetrahydropiperidino or a spirofused group of formula (a); S1 = OH, 1-6C alkyl, 1-6C hydroxyalkyl, 1-6C alkoxycarbonyl or C(O)NV1'Y1'; V1', Y1' = H or 1-6C alkyl; R3 = (CH2)p-Z3 or C(O)Z'3; Z3 = 1-6C alkyl, 2-6C alkenyl, 1-6C alkoxy, 1-6C alkoxy carbonyl, 3-7C cycloalkyl or heterocycloalkyl (both optionally substituted by 1-6C alkyl), aryl (optionally substituted by one or more halogen, azido, NO2 or (CH2) pV3Y3), heteroaryl or a bicyclic group of formula (b) or (c); r = 1 or 2; V3 = O, S, C(O), C(O)O, NHC(O), (O)NR'3, NHC(O)NR'3 or a bond; Z'3 = aryl (optionally substituted by one or more halogen, NO2 or (CH2 pV'3Y'3); V'3 = O, C(O), C(O)O, C(O)NR'3, NHC(O)NR'3 or a bond; Y3, Y'3 = H or 1-6C alkyl (optionally substituted by one or more halogen); R'3 = H, 1-6C alkyl or 1-6C alkoxy; R4 = (CH2)sR'4; R'4 = heterocycloalkyl containing at least one N (optionally substituted by 1-6C alkyl or aralkyl), heteroaryl containing at least one N (optionally substituted by 1-6C alkyl) or NW4W'4; W4 = H or 1-8C alkyl; W'4 = (CH2)s'-Z4; Z4 = H, 1-8C alkyl (optionally substituted by one or more SZ4), 2-6C alkenyl, 3-7C cycloalky (optionally substituted by one or more 1-6C alkyl), cyclohexene, heteroaryl, aryl (optionally substituted by one or more S4) or a group (b); SZ4 = 1-6C alkoxy, 1-6C alkylthio or OH; S4 = (CH2)s"V4Y4, OH, halogen, NO2 or CN; s" = 0-4; V4 = O, S, NHC(O), N(V'4) or a bond; V'4 = H or 1-6C alkyl; Y4 = H or 1-6C alkyl (optionally substituted by one or more halogen); s, s' = 0-6; with the proviso that, when R3 = C((Z'3 and R4 = (CH2)sNW4W'4 with W4 and W'4 representing H or alkyl, then (CH2)s is neither ethylene nor -(CH2)-CH((1-4C) alkyl)-. An Independent claim is also included for preparation of (I).